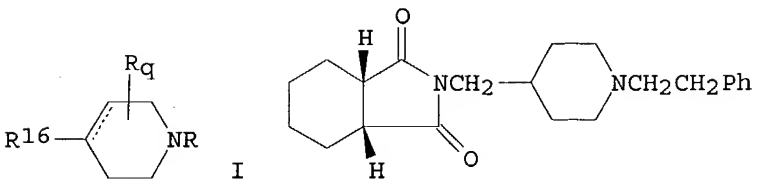
L4 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
GI



AB The title compds. [I; R = (CH2)nR2; R1 = (CH2)mR3, (CH2)pAr; R2 is selected from 39 general benzo-fused phthalimido and analogous groups; R3 = cycloalkyl; Ar = (un)substituted Ph, naphthyl, pyridyl, pyrimidinyl, (iso)quinolyl; R16 = H, OH, alkoxy, acyloxy, alkyl, (un)substituted (hetero)aryl; dashed line = optional bond; when said bond is present R16 = (CH2)nR2 and q = 0, otherwise q = 1; m, p = 1-4; n = 0-4] were prepared Thus, 4-aminomethylpyridine was cyclocondensed with cis-1,2-cyclohexanedicarboxylic anhydride and the product N-alkylated with BrCH2CH2Ph to give, after hydrogenation over PtO2, title compound II which inhibited isolation-induced aggressive behavior in mice when administered orally (no dose given).

AN 1991:535930 CAPLUS
DN 115:135930
TI Preparation of (phthalimidoalkyl)piperidines and analogs as psychotropic agents
IN Ciganek, Engelbert; Tam, Sang William; Wright, Ann Sorrentino
PA du Pont de Nemours, E. I., and Co., USA
SO PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9106297	A1	19910516	WO 1990-US6102	19901029
	W: AU, CA, FI, HU, JP, KR, NO, SU				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
	IL 96144	A1	19940624	IL 1990-96144	19901028
	AU 9066265	A1	19910531	AU 1990-66265	19901029
	AU 655406	B2	19941222		
	ZA 9008641	A	19920624	ZA 1990-8641	19901029
	EP 497843	A1	19920812	EP 1990-916143	19901029
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 06504980	T2	19940609	JP 1990-515062	19901029
	NO 9201594	A	19920424	NO 1992-1594	19920424
	FI 9201856	A	19920424	FI 1992-1856	19920424
PRAI	US 1989-428097		19891027		
	US 1990-602024		19901023		
	WO 1990-US6102		19901029		

OS MARPAT 115:135930
IT **135903-59-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as psychotropic agent)

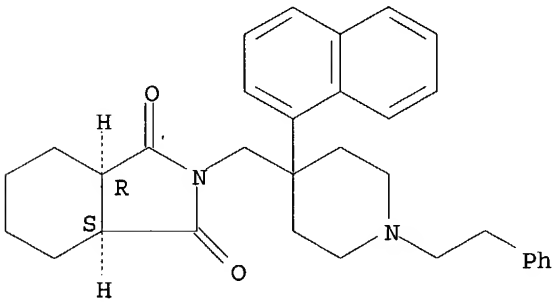
RN 135903-59-2 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, hexahydro-2-[[4-(1-naphthalenyl)-1-(2-phenylethyl)-4-piperidinyl]methyl]-, (3aR,7aS)-rel-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 135903-58-1
CMF C32 H36 N2 O2

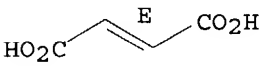
Relative stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



11/08/04

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1612rxd

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	May 12	EXTEND option available in structure searching
NEWS 4	May 12	Polymer links for the POLYLINK command completed in REGISTRY
NEWS 5	May 27	New UPM (Update Code Maximum) field for more efficient patent SDIs in CAplus
NEWS 6	May 27	CAplus super roles and document types searchable in REGISTRY
NEWS 7	Jun 22	STN Patent Forums to be held July 19-22, 2004
NEWS 8	Jun 28	Additional enzyme-catalyzed reactions added to CASREACT
NEWS 9	Jun 28	ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG, and WATER from CSA now available on STN(R)
NEWS 10	Jul 12	BEILSTEIN enhanced with new display and select options, resulting in a closer connection to BABS

NEWS EXPRESS	MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 17:06:13 ON 23 JUL 2004

=> file registry

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 17:06:22 ON 23 JUL 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

11/08/04

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 22 JUL 2004 HIGHEST RN 714628-08-7
DICTIONARY FILE UPDATES: 22 JUL 2004 HIGHEST RN 714628-08-7

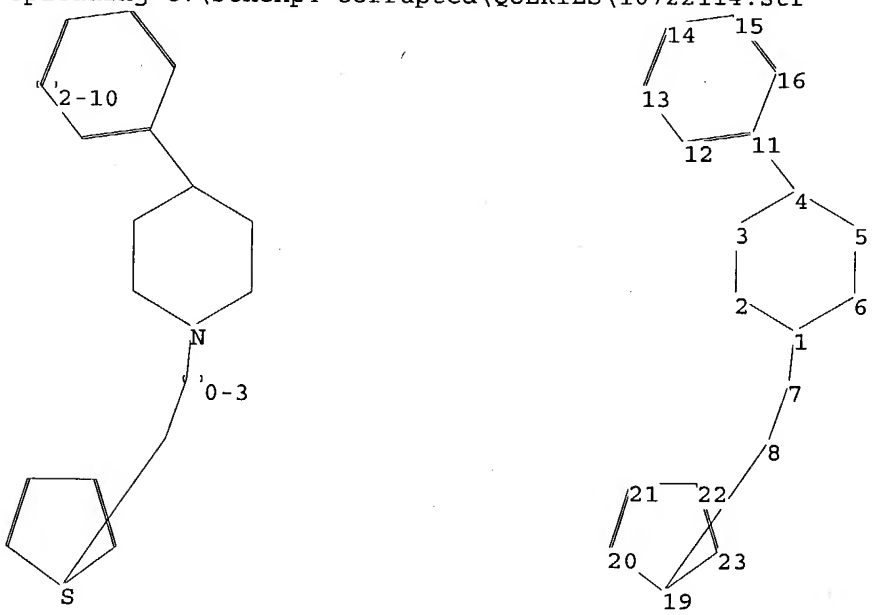
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Stnexp4 corrupted\QUERIES\10722114.str

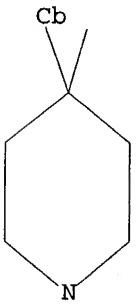


chain nodes :
7 8
ring nodes :
1 2 3 4 5 6 11 12 13 14 15 16 19 20 21 22 23
chain bonds :
1-7 4-11 7-8 8-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16 19-20 19-23 20-21 21-22 22-23
exact/norm bonds :
1-2 1-6 1-7 2-3 3-4 4-5 5-6 8-19 19-20 19-23 20-21 21-22 22-23
exact bonds :
4-11 7-8
normalized bonds :
11-12 11-16 12-13 13-14 14-15 15-16

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 11:Atom 12:Atom
13:Atom 14:Atom 15:Atom 16:Atom 19:Atom 20:Atom 21:Atom 22:CLASS 23:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 17:06:36 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 100259 TO ITERATE

1.0% PROCESSED 1000 ITERATIONS 7 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: EXCEEDS 1000000
PROJECTED ANSWERS: EXCEEDS 12447

L2 7 SEA SSS SAM L1

=> logoff y
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 0.42 0.63

STN INTERNATIONAL LOGOFF AT 17:06:57 ON 23 JUL 2004

Connecting via Winsock to STN

11/08/04

Welcome to STN International! Enter x:x

LOGINID:ssspta1612rxd

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	JUL 12	BEILSTEIN enhanced with new display and select options, resulting in a closer connection to BABS
NEWS	4	AUG 02	IFIPAT/IFIUDB/IFICDB reloaded with new search and display fields
NEWS	5	AUG 02	CAplus and CA patent records enhanced with European and Japan Patent Office Classifications
NEWS	6	AUG 02	The Analysis Edition of STN Express with Discover! (Version 7.01 for Windows) now available
NEWS	7	AUG 27	BIOCOMMERCE: Changes and enhancements to content coverage
NEWS	8	AUG 27	BIOTECHABS/BIOTECHDS: Two new display fields added for legal status data from INPADOC
NEWS	9	SEP 01	INPADOC: New family current-awareness alert (SDI) available
NEWS	10	SEP 01	New pricing for the Save Answers for SciFinder Wizard within STN Express with Discover!
NEWS	11	SEP 01	New display format, HITSTR, available in WPIDS/WPINDEX/WPIX
NEWS	12	SEP 27	STANDARDS will no longer be available on STN
NEWS	13	SEP 27	SWETSCAN will no longer be available on STN
NEWS	14	OCT 28	KOREAPAT now available on STN

NEWS EXPRESS	OCTOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 16:31:46 ON 29 OCT 2004

=> file registry

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 16:32:05 ON 29 OCT 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

11/08/04

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 27 OCT 2004 HIGHEST RN 770693-70-4
DICTIONARY FILE UPDATES: 27 OCT 2004 HIGHEST RN 770693-70-4

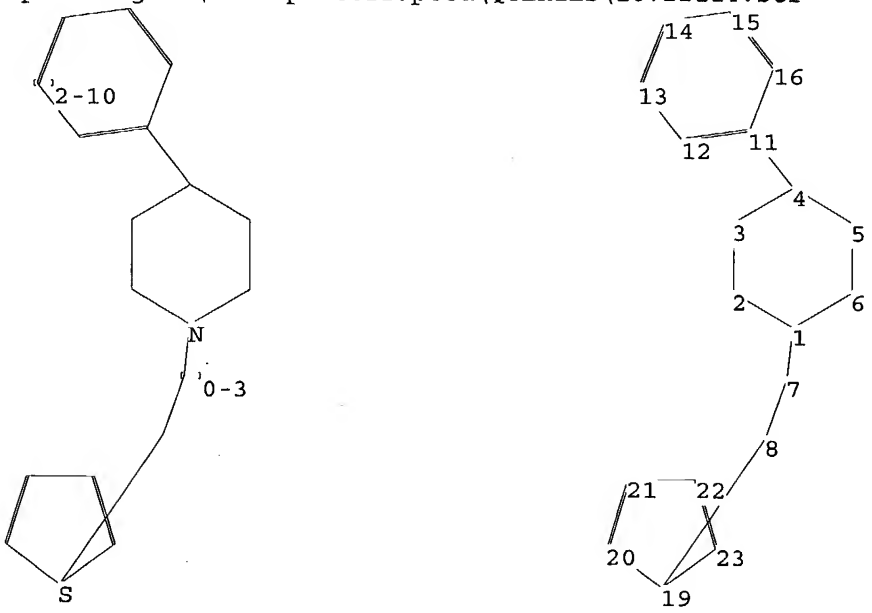
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Stnexp4 corrupted\QUERIES\10722114.str



chain nodes :
7 8
ring nodes :
1 2 3 4 5 6 11 12 13 14 15 16 19 20 21 22 23
chain bonds :
1-7 4-11 7-8 8-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16 19-20
19-23 20-21 21-22 22-23
exact/norm bonds :
1-2 1-6 1-7 2-3 3-4 4-5 5-6 8-19 19-20 19-23 20-21 21-22 22-23
exact bonds :
4-11 7-8
normalized bonds :

11/08/04

11-12 11-16 12-13 13-14 14-15 15-16

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 11:Atom 12:Atom
13:Atom 14:Atom 15:Atom 16:Atom 19:Atom 20:Atom 21:Atom 22:CLASS 23:CLASS

L1 STRUCTURE UPLOADED

=> l1
L1 IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> s l1 full
FULL SEARCH INITIATED 16:32:37 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 541444 TO ITERATE

 73.9% PROCESSED 400000 ITERATIONS 1026 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.07

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS: 541444 TO   541444
PROJECTED ANSWERS: 1277 TO   1499

L2 1026 SEA SSS FUL L1

=> file registry
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 156.26 156.47

FILE 'REGISTRY' ENTERED AT 16:33:49 ON 29 OCT 2004
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STRUCTURE FILE UPDATES: 27 OCT 2004 HIGHEST RN 770693-70-4
DICTIONARY FILE UPDATES: 27 OCT 2004 HIGHEST RN 770693-70-4

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

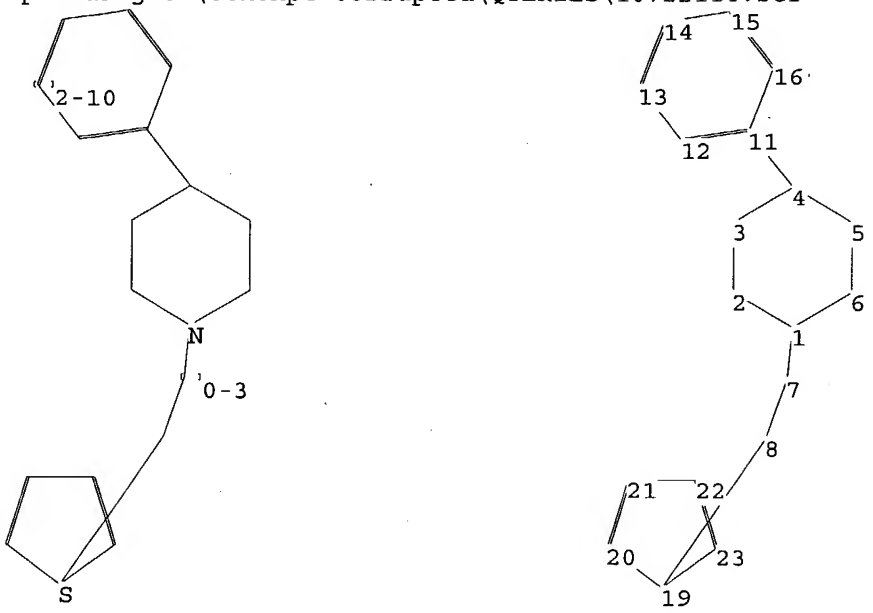
  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

11/08/04

=>
Uploading C:\Stnexp4 corrupted\QUERIES\10722114.str



chain nodes :
7 8
ring nodes :
1 2 3 4 5 6 11 12 13 14 15 16 19 20 21 22 23
chain bonds :
1-7 4-11 7-8 8-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16 19-20
19-23 20-21 21-22 22-23
exact/norm bonds :
1-2 1-6 1-7 2-3 3-4 4-5 5-6 8-19 19-20 19-23 20-21 21-22 22-23
exact bonds :
4-11 7-8
normalized bonds :
11-12 11-16 12-13 13-14 14-15 15-16

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 11:Atom 12:Atom
13:Atom 14:Atom 15:Atom 16:Atom 19:Atom 20:Atom 21:Atom 22:CLASS 23:CLASS

L3 STRUCTURE UPLOADED

=> d l3
L3 HAS NO ANSWERS
L3 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

```
=> s l3
SAMPLE SEARCH INITIATED 16:34:07 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   4246 TO ITERATE

 23.6% PROCESSED    1000 ITERATIONS                                 16 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        81013 TO    88827
PROJECTED ANSWERS:             864 TO     1852

L4            16 SEA SSS SAM L3

=> s l3 ful
FULL SEARCH INITIATED 16:34:14 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  84641 TO ITERATE

100.0% PROCESSED   84641 ITERATIONS                             1447 ANSWERS
SEARCH TIME: 00.00.02

L5          1447 SEA SSS FUL L3

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              155.42     311.89

FILE 'CAPLUS' ENTERED AT 16:34:19 ON 29 OCT 2004
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FILE COVERS 1907 - 29 Oct 2004  VOL 141 ISS 19
FILE LAST UPDATED: 28 Oct 2004  (20041028/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l5
L6          657 L5

=> file registry
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                                1.32     313.21
```

11/08/04

FILE 'REGISTRY' ENTERED AT 16:35:58 ON 29 OCT 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 27 OCT 2004 HIGHEST RN 770693-70-4
DICTIONARY FILE UPDATES: 27 OCT 2004 HIGHEST RN 770693-70-4

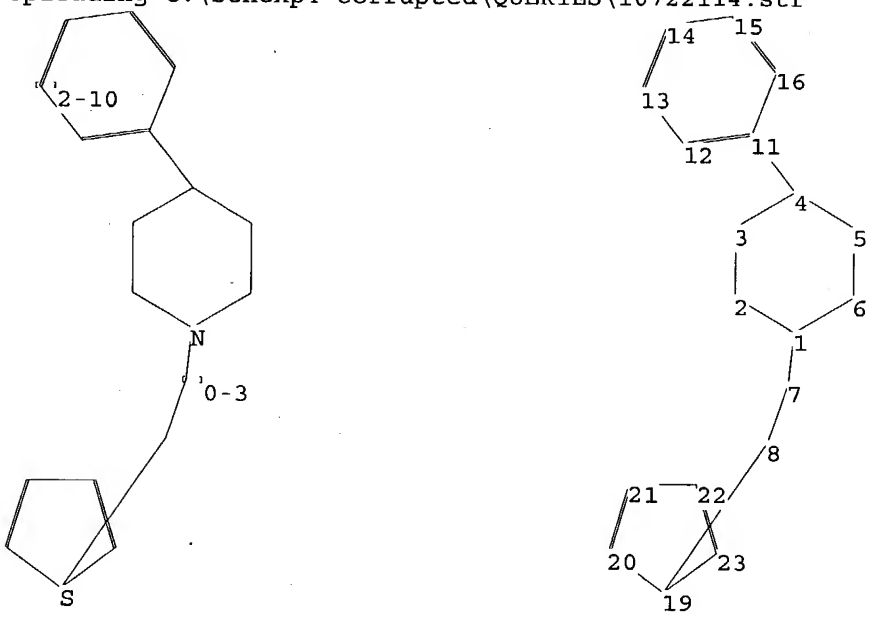
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Stnexp4 corrupted\QUERIES\10722114.str



chain nodes :
7 8
ring nodes :
1 2 3 4 5 6 11 12 13 14 15 16 19 20 21 22 23
chain bonds :
1-7 4-11 7-8 8-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16 19-20
19-23 20-21 21-22 22-23
exact/norm bonds :
1-2 1-6 1-7 2-3 3-4 4-5 5-6 8-19 19-20 19-23 20-21 21-22 22-23

exact bonds :
4-11 7-8
normalized bonds :
11-12 11-16 12-13 13-14 14-15 15-16

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 11:Atom 12:Atom
13:Atom 14:Atom 15:Atom 16:Atom 19:Atom 20:Atom 21:Atom 22:CLASS 23:CLASS

L7 STRUCTURE UPLOADED

=> d l7
L7 HAS NO ANSWERS
L7 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l7 ful
FULL SEARCH INITIATED 16:36:18 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 97930 TO ITERATE

100.0% PROCESSED 97930 ITERATIONS 138 ANSWERS
SEARCH TIME: 00.00.02

L8 138 SEA SSS FUL L7

=> file caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.42	468.63

FILE 'CAPLUS' ENTERED AT 16:36:24 ON 29 OCT 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 29 Oct 2004 VOL 141 ISS 19
FILE LAST UPDATED: 28 Oct 2004 (20041028/ED)

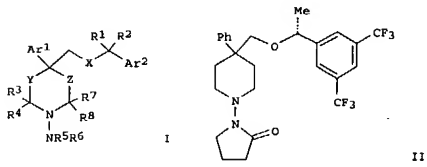
This file contains CAS Registry Numbers for easy and accurate substance identification.

11/08/04

```
=> s l8
L9           29 L8

=> d abs bib fhitstr
```

L9 ANSWER 1 OF 29 CAPLUS COPYRIGHT 2004 ACS on STN
GI



I

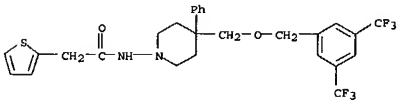
II

AB The title compds. of formula I [Ar1, Ar2 = (substituted) Ph, (substituted)
heteroaryl; R1, R3 = H, alkyl, oxo; R2, R4 = H, (substituted) CONH2, etc.;
R5, R6 = H, alkyl, cycloalkyl, aryl, etc.; R5R6 = heterocyclo ring, etc.;
R7, R8 = H, alkyl, oxo; X = O, S, (substituted) NH, SO, SO2; Y = (CH2)m; Z
= (CH2)n; m, n = 0-3 (m+n = 0-4)] are prepared as NK1 antagonists. The
compds. are useful for treating disorders, symptoms or diseases, including
emesis, depression, anxiety and cough. Thus, II was prepared, and had Ki of
0.3 nM in NK1 binding assay.

AN 2004:41271 CAPLUS
DN 140:93933
TI Preparation of 1-amido-4-phenyl-4-benzyloxymethylpiperidine derivatives and related compounds as neurokinin-1 (NK-1) antagonists for the treatment
of emesis, depression, anxiety and cough
IN Shih, Neng-Yang; Wang, Steven; Reichard, Gregory A.; Xiao, Dong; Wang, Cheng
PA Schering Corporation, USA
SO PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004004722	A1	20040115	WO 2003-US20783	20030702
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004072867	A1	20040415	US 2003-612176	20030702
PRAI US 2002-393708P	P	20020703		

OS MARPAT 140:93933
IT **643756-27-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidophenylbenzyloxymethyl piperidine derivs. as neurokinin-1 antagonists)
RN 643756-27-8 CAPLUS
CN 2-Thiopheneacetamide, N-[4-[[[3,5-bis(trifluoromethyl)phenyl]methoxy]methyl]-4-phenyl-1-piperidinyl]- (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

11/08/04

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	5.64	474.27

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
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NEWS 13	SEP 27	SWETSCAN will no longer be available on STN
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NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items

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DICTIONARY FILE UPDATES:    7 NOV 2004  HIGHEST RN 776240-21-2

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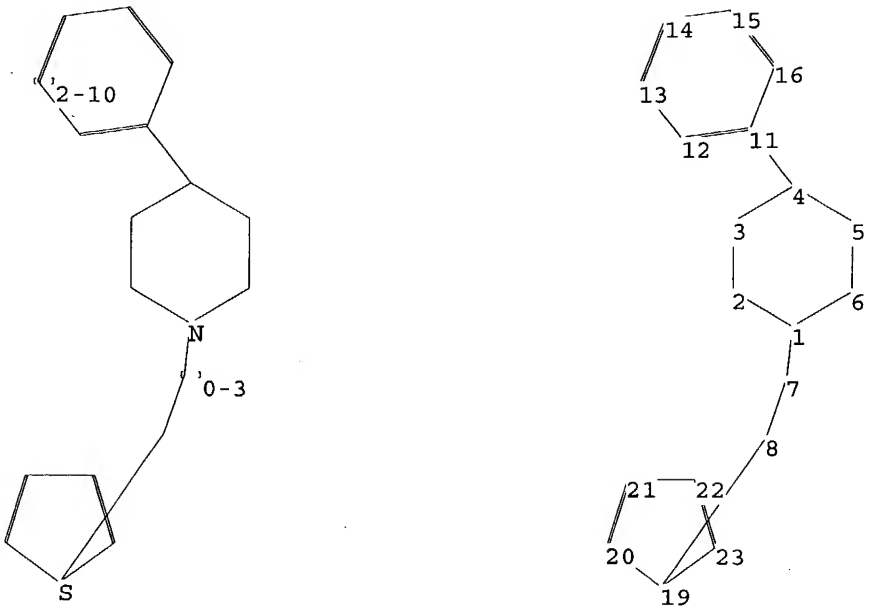

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7 8
ring nodes :
1 2 3 4 5 6 11 12 13 14 15 16 19 20 21 22 23
chain bonds :
1-7 4-11 7-8 8-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16 19-20
19-23 20-21 21-22 22-23
exact/norm bonds :
1-2 1-6 1-7 2-3 3-4 4-5 5-6 8-19 19-20 19-23 20-21 21-22 22-23
exact bonds :
4-11 7-8
normalized bonds :
11-12 11-16 12-13 13-14 14-15 15-16

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 11:Atom 12:Atom
13:Atom 14:Atom 15:Atom 16:Atom 19:Atom 20:Atom 21:Atom 22:CLASS 23:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED -   3147 TO ITERATE

 31.8% PROCESSED    1000 ITERATIONS                                  0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        59576 TO    66304
PROJECTED ANSWERS:               0 TO        0

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=> s l1 ful
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100.0% PROCESSED   62538 ITERATIONS                               54 ANSWERS
SEARCH TIME: 00.00.01

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FILE COVERS 1907 - 8 Nov 2004  VOL 141 ISS 20
FILE LAST UPDATED: 7 Nov 2004  (20041107/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l3
L4            22 L3
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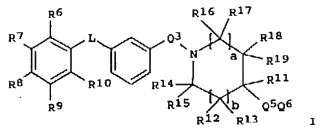
=> d abs bib hitstr 1-22

L4 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
GI



I

AB The invention relates to (shown as I; variables defined below; e.g. 1-[1-(2',6'-dichlorobiphenyl-3-ylmethyl)piperidin-4-yl]-1,3-dihydrobenzimidazol-2-one and 3-(3-phenoxybenzyl)-2,3,4,5-tetrahydro-1H-benzo[d]azepine). Preferred compds. are antagonists of C-C chemokine receptor 8 (no data). The invention also relates to a method for treating a subject having an inflammatory disorder or viral disorder comprising administering to a subject in need thereof an effective amount of a compound of the invention. Although the methods of preparation are not claimed, hundreds of example prepns. are included. For I: L = O, S, NRa, a bond, SO2, C(O), and (CR'R'')m; Ra = H, (un)substituted alkyl, alkylaryl, and cycloalkyl; a is 0 to 3; b is 0 to 3; m is 1 to 8; R' and R'' = H, (un)substituted alkyl, cyano and (un)substituted alkenyl. R6, R7, R8, R9 and R10 = H, hydroxy, halogen, (un)substituted C1-C10 alkyl, (un)substituted C2-C10 alkenyl, (un)substituted C2-C10 alkynyl, (un)substituted C3-C10 cycloalkyl, (un)substituted C3-C10 cycloalkenyl, (un)substituted C3-C10 cycloalkynyl, (un)substituted C3-C10 cycloalkoxy, cyano, C1-C10 alkoxy, C2-C10 alkenyloxy, C2-C10 alkynyloxy, benzyloxy, (un)substituted amino, (un)substituted amido, O(CF3), C(O)O(R1), C(O)(R1), -SO2NR1R2, trifluoromethyl, aryl, aralkyl, heteroaryl and heteroaralkyl. R1 and R2 = H and (un)substituted alkyl; Q3 is (un)substituted alkyl; R11-R19 = H, hydroxy, halogen, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted cycloalkyl, (un)substituted cycloalkenyl, (un)substituted cycloalkynyl, cyano, alkoxy, alkenyloxy, alkynyloxy, benzyloxy, (un)substituted amino, (un)substituted amido, O(CF3), C(O)O(R41), -C(O)(R41), -SO2NR41R42, trifluoromethyl, aryl, aralkyl, heteroaryl and heteroaralkyl; R41 and R42 = H, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted cycloalkyl, (un)substituted cycloalkenyl, (un)substituted cycloalkynyl, (un)substituted amino, trifluoromethyl, aryl, aralkyl, heteroaryl and heteroaralkyl; or R41 and R42 may be linked via a C2-C8 (un)substituted alkyl or alkenyl bridge where ≥1 carbons may be replaced by O, S or NR46. Q5 = -N(R20)C(O)(CR41R42)1-3-, 1-N(R20)C(O)cycloalkyl (ring size = 3-9), N(R20)C(O)-substituted azacycloalkyl; R20 and R46 = H, hydroxy, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted cycloalkyl, optionally cycloalkenyl, (un)substituted

L4 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
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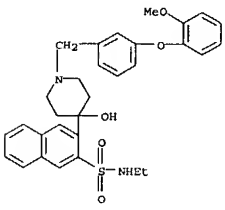

CM 2

CRN 64-18-6
CMF C H2 O2

RN 521979-56-6 CAPLUS
CN Formic acid, compd. with N-ethyl-3-[4-hydroxy-1-[[3-(4-hydroxyphenyl)methyl]-4-piperidinyl]-2-naphthalenesulfonamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 521979-55-5
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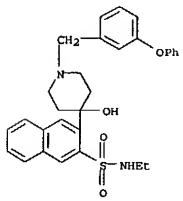

CM 2

L4 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
cycloalkynyl, (un)substituted amino, (un)substituted amido, -C(O)O(R41), -C(O)(R41), -SO2NR4R42, trifluoromethyl, aryl, aralkyl, heteroaryl or heteroaralkyl; and Q6 = (un)substituted arom. ring, (un)substituted nonarom. heterocycle, and (un)substituted heteroarom. ring; or R18 or R19 together with Q5Q6 and the atoms to which they are bonded form an (un)substituted nonarom. carbocyclic group, (un)substituted nonarom. heterocyclic group, (un)substituted aryl ring or (un)substituted heteroaryl ring. Addnl. details are given in the claims.

AN 2003:356199 CAPLUS
DN 138:368921
TI Preparation of compounds as C-C chemokine receptor 8 antagonists, pharmaceutical compositions and use against inflammatory or viral disorders
IN Ghosh, Shomir; Patane, Michael A.; Carson, Kenneth G.; Chi, I-Cheng Shannon; Ye, Qing; Elder, Amy M.; Jenkins, Tracy J.
PA Millennium Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 204 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003037271	A2	20030508	WO 2002-US34845	20021030
WO 2003037271	A3	20031016		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI US 2001-340663P	P	20011030		

OS MARPAT 138:368921
IT **521979-54-4P**, 3-[4-Hydroxy-1-(3-(2-methoxyphenoxy)benzyl]piperidin-4-yl]naphthalene-2-sulfonic acid ethylamide monoformate
521979-56-6P, 3-[4-Hydroxy-1-(3-phenoxybenzyl)piperidin-4-yl]naphthalene-2-sulfonic acid ethylamide monoformate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of compds. as C-C chemokine receptor 8 antagonists, pharmaceutical comprns. and use against inflammatory or viral disorders)
RN 521979-54-4 CAPLUS
CN Formic acid, compd. with N-ethyl-3-[4-hydroxy-1-[[3-(2-methoxyphenoxy)phenyl]methyl]-4-piperidinyl]-2-naphthalenesulfonamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 521979-53-3

L4 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

CRN 64-18-6
CMF C H2 O2

L4 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
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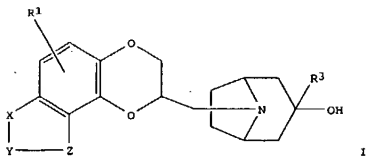


I

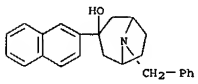
AB Azabicyclylmethyl derivs. of 7,8-dihydro-1,6,9-trioxa-3-azacyclopenta[a]naphthalene [I; wherein X-Y-Z = N:C(R2)-O, N:C(R2)-NH, NH-C(R2):CH; R1 = H, halo, CN, carboxamido, carboalkoxy, CF3, etc.; R2 = H, halo, CF3, amino, mono- or dialkylamino, etc.; R3 = Ph, naphthyl, anthracyl, phenanthryl, pyridyl, pyrimidyl, etc.] were prepared For example, (8R)-2-methyl-7,8-dihydro[1,4]dioxino[2,3-g][1,3]benzoxazol-8-ylmethyl 4-methylbenzenesulfonate (synthetic preparation given) was reacted with 3-phenyl-8-azabicyclo[3.2.1]octan-3-ol to give 8-{[2-methyl-7,8-dihydro[1,4]dioxino[2,3-g][1,3]benzoxazol-8-yl]methyl}-3-phenyl-8-azabicyclo[3.2.1]octanol. The title compds. are useful for treating the cognitive deficits due to aging, stroke, head trauma, Alzheimer's disease or other neurodegenerative diseases, or schizophrenia and are also useful for the treatment of disorders such as anxiety, aggression and stress, and for the control of various physiol. phenomena, such as eating disorders, disorders of thermoregulation, and sleep and sexual dysfunction.

AN 2002:849646 CAPLUS
DN 137:353043
TI Preparation of azabicyclylmethyl derivatives of 7,8-dihydro-1,6,9-trioxa-3-azacyclopenta[a]naphthalene as 5-HT1A antagonists
IN Stack, Gary Paul; Gilbert, Adam Matthew; Tran, Megan
PA Wyeth, John, and Brother Ltd., USA
SO PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002088145	A1	20021107	WO 2002-US13114	20020425

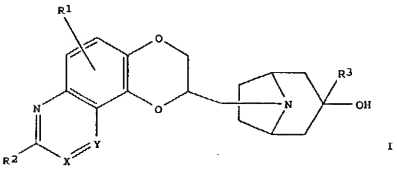
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

L4 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2002183336 A1 20021205 US 2002-131917 20020425
US 6780860 B2 20040824
PRAI US 2001-286818P P 20010426
OS MARPAT 137:353043
IT **474534-35-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azabicyclooctanol benzodioxan derivs. as 5-HT1A antagonists for treatment of cognitive deficit disorders and disorders due to excessive serotonin stimulation)
RN 474534-35-5 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 3-(2-naphthalenyl)-8-(phenylmethyl)- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
GI



I

AB Azabicyclylmethyl derive. of 2,3-dihydro-1,4-dioxino-[2,3-f]quinoline [I; wherein X = N, CR4; Y = N, CH; R1 = H, halo, CN, carboxamido, carboalkoxy, CF3, etc.; R2 = H, OH, halo, amino, mono- or dialkylamino, etc.; R3 = Ph, naphthyl, anthracyl, phenanthryl, pyridyl, pyrimidyl, etc.; R4 = H, (C1-C6)alkyl] were prepared For example, (2R)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-ylmethyl 4-methylbenzenesulfonate (synthetic preparation given) is reacted with 3-phenyl-8-azabicyclo[3.2.1]octan-3-ol to give the S-enantiomer of 8-{[8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-yl]methyl}-3-phenyl-8-azabicyclo[3.2.1]octan-3-ol. The title compds. are useful for treating the cognitive deficits due to aging, stroke, head trauma, Alzheimer's disease or other neurodegenerative diseases, or schizophrenia and are also useful for the treatment of disorders such as anxiety, aggression and stress, and for the control of various physiol. phenomena, such as eating disorders, disorders of thermoregulation, and sleep and sexual dysfunction.

AN 2002:849633 CAPLUS
DN 137:353033
TI Preparation of azabicyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino-[2,3-f]quinoline as 5-HT1A antagonists
IN Stack, Gary Paul; Gilbert, Adam Matthew; Tran, Megan
PA Wyeth, John, and Brother Ltd., USA
SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002088130	A1	20021107	WO 2002-US12953	20020425

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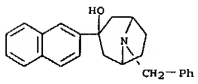
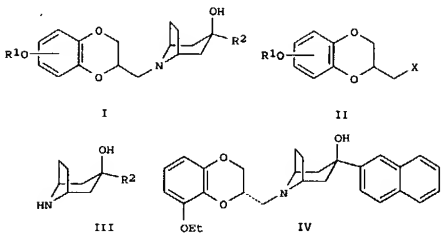
L4 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2002183322 A1 20021205 US 2002-131355 20020424
PRAI US 2001-286576P P 20010426
OS MARPAT 137:353033
IT **474534-35-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azabicyclooctanol quinolinodioxan derivs. as 5-HT1A antagonists for treatment of cognitive deficit disorders and disorders due to excessive serotonin stimulation)
RN 474534-35-5 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 3-(2-naphthalenyl)-8-(phenylmethyl)- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
GI



I II III IV

AB The title compds. I (R1 = 1-6 carbon straight chain alkyl, 3-8 carbon branched alkyl, R2 = Ph, naphthyl, pyridyl, etc.) were prepared by reacting benzodioxans II (X = halogen, SO2CF3, alkylsulfonate, etc.) with the corresponding hydroxy azabicyclooctanol derivs. III. Thus, naphthalenylazabicyclooctanol IV was prepared from tropinone, 2-bromonaphthalene, and (R)-toluene-4-sulfonic acid 8-ethoxy-2,3-dihydrobenzo[1,4]dioxin-2-ylmethyl ester. In the HC 5-HT1A binding assay, IV had an activity of 5.9 nm Ki. I are useful for treating the cognitive deficits due to aging, stroke, head trauma, Alzheimer's disease or other neurodegenerative diseases, or schizophrenia and also treatment of disorders related to excessive serotonergic stimulation, such as anxiety, aggression and stress, and for the control of various physiol. phenomena, such as appetite, thermoregulation, sleep and sexual behavior, which are known the be, at least in part, under serotonergic influence.

AN 2002:832796 CAPLUS
DN 137:337897
TI Preparation of 8-aza-bicyclo[3.2.1]octan-3-ol derivatives of 2,3-dihydro-1,4-benzodioxan and their 5-HT1A antagonist activity
IN Gilbert, Adam Matthew; Stack, Gary Paul
PA Wyeth, John, and Brother Ltd., USA
SO PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002085900	A1	20021031	WO 2002-US12837	20020424

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

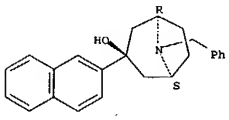
L4 ANSWER 4 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

	US 2003032648	A1	20030213	US 2002-128057	20020423
	US 6656951	B2	20031202		
	US 2004063728	A1	20040401	US 2003-663533	20030916
PRAI	US 2001-286061P	P	20010424		
	US 2002-128057	A1	20020423		

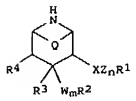
OS MARPAT 137:337897
IT **473968-94-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azabicyclooctanol benzodioxan deriva. and their 5-HT1A antagonist activity using cloned human-5HT1A receptors for treatment of cognitive deficit disorders and disorders due to excessive serotonin stimulation)
RN 473968-94-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octan-3-ol, 3-(2-naphthalenyl)-8-(phenylmethyl)-, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
GI



I

AB Disclosed are methods for treating or preventing Alzheimer's disease, and other diseases, and/or inhibiting β-secretase enzyme, and/or inhibiting deposition of A beta peptide in a mammal, using 3,4-disubstituted piperidinyl compds. (I) wherein the variables R1, R2, R3, R4, Q, W, X, Z, m, and n are defined below. Although neither the compds. nor the methods of preparation are claimed, .apprx.150 example preprns., translations from the German examples of patent WO 9709311, are included. I inhibit β-secretase with IC50 < 50 μM; compds. that are effective inhibitors of β-secretase activity demonstrate reduced cleavage of the substrate as compared to a control. In I, R1 is aryl, heterocycle; R2 is Ph, naphthyl, acenaphthyl, cyclohexyl, pyridyl, pyrimidinyl, pyrazinyl, oxopyridinyl, diazinyl, triazolyl, thienyl, oxazolyl, oxadiazolyl, thiazolyl, pyrrolyl, or furyl, optionally substituted. R3 is: H, hydroxy, lower-alkoxy, or lower-alkenyloxy; R4 is: H, lower-alkyl, lower-alkenyl, lower-alkoxy, hydroxy-lower-alkyl, lower-alkoxy-lower-alkyl, benzyl, oxo, or where R3 and R4 together are a bond, or as specified in the claims. Q is: ethylene, or is absent; X is: a bond, -O-, -S-, -CH-R11- (R11 defined in claims), -CHOR9- (R9 defined in claims), -OCO, -CO-, or C:NOR10- (R10 is carboxyalkyl, alkoxycarbonylalkyl, alkyl or H), with the bond emanating from an O or S atom joining to a saturated C atom of group Z or to R1; W is: -O-, or -S-; Z is: lower-alkylene, lower-alkenylene, hydroxy-lower-alkylidene, -O-, -S-, -O-Alk- (Alk is a lower alkylene), -S-Alk-, -Alk-O-, or -Alk-S. N is: 1, or 0 or 1 when X is -O-CO; and where m is 0 or 1; with provisos.

AN 2002:754196 CAPLUS
DN 137:257677
TI Methods of treating or preventing Alzheimer's disease using 4-aryl-3-aralkoxypiperidines and -azabicyclooctanes
IN Nieman, James A.; Fang, Lawrence; Jagodzinska, Barbara
PA Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SO PCT Int. Appl., 449 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002076440	A2	20021003	WO 2002-US9100	20020321
	WO 2002076440	A3	20021128		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

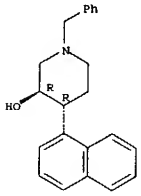
L4 ANSWER 5 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI	US 2001-278371P	P	20010323		
	US 2001-308729P	P	20010730		

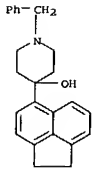
OS MARPAT 137:257677
IT **188861-08-7P**, 3-Piperidinol, 4-(1-naphthalenyl)-1-(phenylmethyl)-, trans- **188861-21-4P**, 4-Piperidinol, 4-(1,2-dihydro-5-acenaphthylenyl)-1-(phenylmethyl)- **188861-25-8P**, 3-Piperidinol, 4-(1,2-dihydro-5-acenaphthylenyl)-1-(phenylmethyl)-, trans- **188862-05-7P**, 4-Piperidinol, 4-(2-naphthalenyl)-1-(phenylmethyl)-
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(methods of treating or preventing Alzheimer's and other diseases using 4-aryl-3-aralkoxypiperidines and -azabicyclooctanes)
RN 188861-08-7 CAPLUS
CN 3-Piperidinol, 4-(1-naphthalenyl)-1-(phenylmethyl)-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



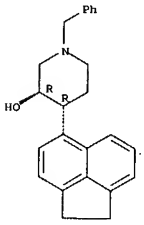
RN 188861-21-4 CAPLUS
CN 4-Piperidinol, 4-(1,2-dihydro-5-acenaphthylenyl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

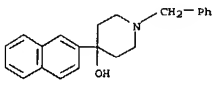


RN 188861-25-8 CAPLUS
CN 3-Piperidinol, 4-(1,2-dihydro-5-acenaphthylenyl)-1-(phenylmethyl)-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 188862-05-7 CAPLUS
CN 4-Piperidinol, 4-(2-naphthalenyl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



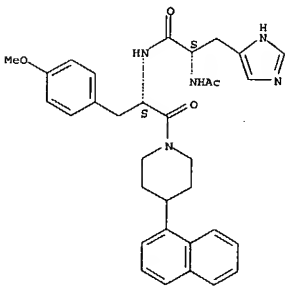
L4 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1363898	A1	20031126	EP 2002-723310	20020302
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003092732	A1	20030515	US 2002-90582	20020304
US 2003096827	A1	20030522	US 2002-90288	20020304
US 6713487	B2	20040330		
PRAI US 2001-273206P	P	20010302		
US 2001-273291P	P	20010302		
WO 2002-US6479	W	20020302		

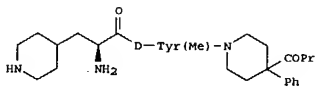
OS MARPAT 137:232913
IT **457904-09-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides for pharmaceutical use as modulators of melanocortin receptors)
RN 457904-09-5 CAPLUS
CN 1H-Imidazole-4-propanamide, α-(acetylamino)-N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-[4-(1-naphthalenyl)-1-piperidinyl]-2-oxoethyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

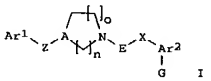
L4 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
GI

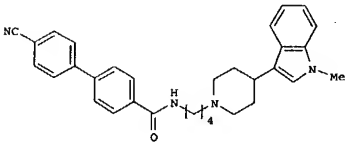


AB Compds. W-(CR6R7)yCH(G)(CR4R5)xCO-X(R1)CHR2(CHR3)r(CH2)sCO-E [X = N or CH;
R1, R3 = H or alkyl; R2 = H, aryl, cycloalkyl, heteroaryl, heterocyclyl, (un)substituted alkyl or alkenyl; R1 together with R2 or R3 or R2 together
with R3 form mono- or bicyclic aryl, cycloalkyl, heteroaryl, or heterocyclyl; E = (un)substituted pyrrolidino, piperidino, hexahydro-1-azepinyl, 1-piperazinyl, cyclopentyl, cyclohexyl, cycloheptyl,
amino, (cyclo)alkylamino; R4-R6 = H, (un)substituted alkyl, amino, alkylamino, hydroxy, alkoxy, aryl, cycloalkyl, heteroaryl, or heterocyclyl; or CR4R5 or C6R7 is a spirocycloalkyl ring; r, s = 0 or 1; x
= 0-4; y = 0-2; G = alkenyl, arylalkenyl, hydroxy, heteroaryl, cyano, functionalized alkyl or alkenyl, etc.; W = amino, alkylamino, hydroxy, alkoxy, carbamoyl, amidino, cycloalkyl, heteroaryl, heterocyclyl, etc.] were prepared as modulators of melanocortin receptors, particularly MC-1R and MC-4R. Thus, peptide I was prepared by a solution-phase peptide coupling/deprotection scheme.
AN 2002:695975 CAPLUS
DN 137:232913
TI Preparation of peptides for pharmaceutical use as modulators of melanocortin receptors
IN Yu, Guixue; Macor, John; Herpin, Timothy; Lawrence, R. Michael; Morton, George C.; Ruel, Rejean; Poindexter, Graham S.; Ruediger, Edward H.; Thibault, Carl
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002070511	A1	20020912	WO 2002-US6479	20020302
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,				

L4 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
GI





II

AB The title compds. [I; Ar1 = (un)substituted Ph, naphthyl, Ph fused by cycloalkyl, etc.; Z = a direct link, O, SO2, etc.; A = CR4, N; R4 = H, alkyl, OH, (un)substituted Ph; n = 1-3; o = 1-2; E = alkylene optionally containing 1-2 double bonds or one triple bond and optionally incorporating an
O, S, NH, N(alkyl) in the chain; X = a direct link, O, NHCO, etc.; Ar2 = (un)substituted Ph, 5-6 membered heteroaryl, bicyclic heteroaryl; G = H, YAr3; Y = a direct link, O, alkylene, etc.; Ar3 = (un)substituted Ph, naphthyl, Ph fused by cycloalkyl, etc.] and their physiol. acceptable salts, useful in the manufacture of a medicament for the treatment of diseases
ameliorated by LDL-r upregulation, were prepared Thus, amidation of 4-[4-(1-methyl-1H-indol-3-yl)piperidin-1-yl]butylamine (preparation given) with
4'-cyanobiphenyl-4-carboxylic acid afforded 33% II which showed IC50 of 10
nM in assay for LDL-r promoting activity.
AN 2002:539658 CAPLUS
DN 137:109294
TI Preparation of aryl piperidines and piperazines as inducers of LDL-receptor expression
IN Bouillot, Anne Marie Jeanne; Bombrun, Agnes; Dumaitre, Bernard Andre; Gosmini, Romain Luc Marie
PA Glaxosmithkline, UK
SO PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002055496	A1	20020718	WO 2001-GB158	20010115
WO 2002055496	C1	20030717		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				

L4 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1351936 A1 20031015 EP 2001-900547 20010115

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2004520347 T2 20040708 JP 2002-556168 20010115

US 2004077654 A1 20040422 US 2003-250713 20031111

PRAI WO 2001-GB158 W 20010115

OS MARPAT 137:109294

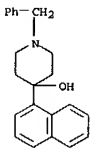
IT **130305-57-6P 188862-05-7P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aryl piperidines and piperazines as inducers of LDL-receptor expression)

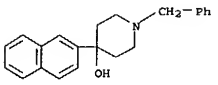
RN 130305-57-6 CAPLUS

CN 4-Piperidinol, 4-(1-naphthalenyl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 188862-05-7 CAPLUS

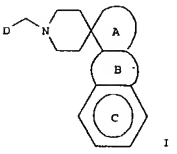
CN 4-Piperidinol, 4-(2-naphthalenyl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

GI



I

AB The invention relates to remedies for pain which contain as the active ingredient compds. having both of an opioid μ receptor agonist activity and a dopamine D2 receptor antagonist activity. The compds. having both of these activities exert a potent morphine-like analgetic effect but cause no mental dependency. Moreover, these compds. can regulate side effects. In particular, novel compds. represented by general formula I [A = (un)substituted S, N or O: 5-6 cyclic; B = N or O: 5-6 cyclic; C = benzene or pyridine; D = (un)substituted S, N or O: aromatic] and pharmacol. acceptable salts thereof have both of the opioid μ receptor agonist activity and the dopamine D2 receptor antagonist activity and are useful as remedies for pain with regulated side effects.

AN 2000:456917 CAPLUS

DN 133:84289

TI compounds having both of opioid μ receptor agonist activity and dopamine D2 receptor antagonist activity as remedies for pain

IN Akiyama, Yoshihisa; Kudou, Toshiaki; Mori, Tomohisa; Asai, Kenji; Miike, Naoko; Yanagisawa, Yumiko; Watanabe, Takashi; Tsushima, Masaki; Hiranuma, Toyokazu

PA Meiji Seika Kaisha, Ltd., Japan

SO PCT Int. Appl., 77 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000038720	A1	20000706	WO 1999-JP7191	19991221

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2356269	AA	20000706	CA 1999-2356269	19991221
EP 1142587	A1	20011010	EP 1999-959951	19991221

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

PRAI JP 1998-367366 A 19981224

JP 1999-136812 A 19990518

L4 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

WO 1999-JP7191 W 19991221

OS MARPAT 133:84289

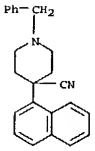
IT **280123-47-9P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(compds. having both of opioid μ receptor agonist activity and dopamine D2 receptor antagonist activity as remedies for pain)

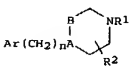
RN 280123-47-9 CAPLUS

CN 4-Piperidinecarbonitrile, 4-(1-naphthalenyl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
GI



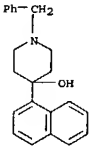
I

AB Title compds. [I; AB = C:CH, CHCH2; n = 0, 1; R1 = H, alkyl; R2 = H, Me, Et; Ar = (substituted) naphthyl, heteroaryl; when n = 1, AB = CHCH2], were
prepared as inhibitors of serotonin reuptake (no data). Thus, benzofuran-2-boronic acid, 1-phenoxycarbonyl-2-methyl-4-trifluoromethanesulfonyloxy-1,2,3,6-tetrahydropyridine, Pd(Ph3P)4, and LiCl were refluxed in dimethoxyethane/aqueous Na2CO3 to give 90% 1-phenoxycarbonyl-2-methyl-4-(benzofur-2-yl)-1,2,3,6-tetrahydropyridine, which was converted to cis- and trans-2-methyl-4-(benzofur-2-yl)piperidine. A capsule formulation containing the latter is given.
AN 1999:810928 CAPLUS
DN 132:35616
TI Preparation of aryltetrahydropyridines and arylpiperidines as inhibitors of serotonin reuptake.
IN Koch, Daniel James; Rocco, Vincent Patrick
PA Eli Lilly and Co., USA
SO Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

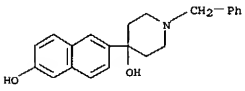
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 965587	A1	19991222	EP 1999-304699	19990616
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6303627	B1	20011016	US 1999-325302	19990603
CA 2335322	AA	19991223	CA 1999-2335322	19990604
WO 9965487	A1	19991223	WO 1999-US12473	19990604
W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9942316	A1	20000105	AU 1999-42316	19990604
JP 2002518327	T2	20020625	JP 2000-554367	19990604
PRAI US 1998-90070P	P	19980619		
WO 1999-US12473	W	19990604		

OS MARPAT 132:35616
IT **130305-57-6P 200875-26-9P 252563-70-5P 252563-71-6P 252563-72-7P 252563-73-8P 252563-74-9P**

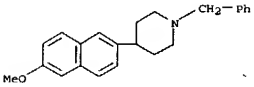
L4 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of aryltetrahydropyridines and arylpiperidines as inhibitors of
serotonin reuptake)
RN 130305-57-6 CAPLUS
CN 4-Piperidinol, 4-(1-naphthalenyl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



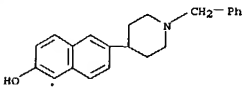
RN 200875-26-9 CAPLUS
CN 4-Piperidinol, 4-(6-hydroxy-2-naphthalenyl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



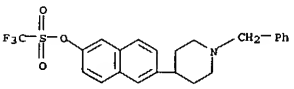
RN 252563-70-5 CAPLUS
CN Piperidine, 4-(6-methoxy-2-naphthalenyl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



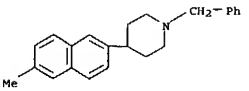
RN 252563-71-6 CAPLUS
CN 2-Naphthalenol, 6-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



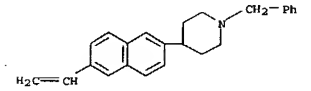
RN 252563-72-7 CAPLUS
CN Methanesulfonic acid, trifluoro-, 6-[1-(phenylmethyl)-4-piperidinyl]-2-naphthalenyl ester (9CI) (CA INDEX NAME)



RN 252563-73-8 CAPLUS
CN Piperidine, 4-(6-methyl-2-naphthalenyl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

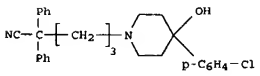


RN 252563-74-9 CAPLUS
CN Piperidine, 4-(6-ethenyl-2-naphthalenyl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
GI



I

AB Ligands for the CCR1 receptor (MIP-1α and RANTES) have been implicated in a number of chronic inflammatory diseases, most notably multiple sclerosis and rheumatoid arthritis. Because these ligands share a common receptor, CCR1, we sought to discover antagonists for this receptor as an approach to treating these disorders. A novel series of 4-hydroxypiperidines has been discovered by high throughput screening (HTS) which potently inhibits the binding of MIP-1α and RANTES to the recombinant human CCR1 chemokine receptor. The structure-activity relationships of various segments of this template are described as the initial HTS lead was optimized synthetically to the highly potent receptor
antagonist I. This compound has been shown to have at least 200-fold selectivity for inhibition of CCR1 over other human 7-TM receptors, including other chemokine receptors. In addition, data obtained from in vitro functional assays demonstrate the functional antagonism of compound I
and structurally related analogs against the CCR1 receptor in a concentration
dependent manner. The discovery and optimization of potent and selective CCR1 receptor antagonists represented by compound I potentially represent a
novel approach to the treatment of chronic inflammatory diseases.
AN 1999:643381 CAPLUS
DN 132:8707
TI Discovery of Novel Non-Peptide CCR1 Receptor Antagonists
AU Ng, Howard P.; May, Karen; Bauman, John G.; Ghannam, Ameen; Islam, Imadul;
Liang, Meina; Horuk, Richard; Hesselgesser, Joseph; Snider, R. Michael; Perez, H. Daniel; Morrissey, Michael M.
CS Departments of Discovery Research and Immunology, Berlex Biosciences, Richmond, CA, 94804-0099, USA
SO Journal of Medicinal Chemistry (1999), 42(22), 4680-4694
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
IT **251359-60-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of and structure-activity studies on non-peptide CCR1 receptor
antagonists)
RN 251359-60-1 CAPLUS